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(FILE 'HOME' ENTERED AT 21:22:51 ON 07 JUN 2004)

FILE 'REGISTRY' ENTERED AT 21:23:17 ON 07 JUN 2004

L1 STRUCTURE UPLOADED

L2 0 S L1 SSS SAM

L3 18 S L1 SSS FULL

FILE 'HCAPLUS, USPATFULL, MEDLINE, BIOSIS, EMBASE' ENTERED AT 21:24:29 ON 07 JUN 2004

L4 73 S L3

L5 5 S L4 AND GLAUCOM?

L6 5 DUP REM L5 (0 DUPLICATES REMOVED)

FILE 'STNGUIDE' ENTERED AT 21:26:55 ON 07 JUN 2004

FILE 'HCAPLUS, USPATFULL, MEDLINE, BIOSIS, EMBASE' ENTERED AT 21:32:04 ON 07 JUN 2004

L7 11 S L4 AND (OCULAR? OR INTRAOCULAR? OR INTRA(2A)OCULAR? OR OPHTHA
L8 11 DUP REM L7 (0 DUPLICATES REMOVED)

=> s 18 not 16

L9 6 L8 NOT L6

=> d 19 abs ibib kwic hitstr 1-6

L9 ANSWER 1 OF 6 USPATFULL on STN

The present invention relates to compositions and methods for inhibiting and reversing nonenzymatic cross-linking (protein aging). Accordingly, compositions are disclosed which comprise an agent capable of inhibiting the formation of advanced glycosylation endproducts of target proteins, and which additionally reverse pre-formed crosslinks in the advanced glycosylation endproducts by cleaving alpha-dicarbonyl-based protein crosslinks present in the advanced glycosylation endproducts. Certain useful agents are thiazolium salts. The method comprises contacting the target protein with the composition. Both industrial and therapeutic applications for the invention are envisioned, as food spoilage and animal protein aging can be treated. A novel immunoassay for detection of the reversal of the nonenzymatic crosslinking is also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2004:45081 USPATFULL

TITLE:

Preventing and reversing the formation of advance

glycosylation endproducts

INVENTOR(S):

Cerami, Anthony, Shelter Island, NY, UNITED STATES Ulrich, Peter C., Old Tappan, NJ, UNITED STATES Wagle, Dilip R., Valley Cottage, NY, UNITED STATES

Hwang, San-Bao, Sudbury, MA, UNITED STATES Vasan, Sara, Yonkers, NY, UNITED STATES

Egan, John J., Mountain Lakes, NJ, UNITED STATES

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Continuation of Ser. No. US 2002-174883, filed on 19
RELATED APPLN. INFO.:
                        Jun 2002, PENDING Division of Ser. No. US 1999-470482,
                        filed on 22 Dec 1999, GRANTED, Pat. No. US 6440749
                        Division of Ser. No. US 1997-971878, filed on 19 Nov
                        1997, GRANTED, Pat. No. US 6007865 Division of Ser. No.
                        US 1996-588249, filed on 18 Jan 1996, GRANTED, Pat. No.
                        US 5853703 Continuation-in-part of Ser. No. US
                        1995-473184, filed on 7 Jun 1995, ABANDONED
                        Continuation-in-part of Ser. No. US 1995-375155, filed
                        on 18 Jan 1995, GRANTED, Pat. No. US 5656261
DOCUMENT TYPE:
                        Utility
                        APPLICATION
FILE SEGMENT:
                        MINTZ, LEVIN, COHN, FERRIS, GLOVSKY, AND POPEO, P.C.,
LEGAL REPRESENTATIVE:
                        ONE FINANCIAL CENTER, BOSTON, MA, 02111
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
                        1
                        2 Drawing Page(s)
NUMBER OF DRAWINGS:
LINE COUNT:
                        2067
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       What is claimed is:
CLM
       6. A composition for ocular administration comprising
       3-(2-phenyl-2-oxoethyl)-4,5-dimethylthiazolium chloride.
      4568-71-2P
                   5304-34-7P
                                 6274-00-6P
                                              7467-00-7P
                                                           7478-09-3P
IT
                                   53995-67-8P
                                                 54016-70-5P
                                                               57132-40-8P
      16311-69-6P
                    52197-73-6P
      57168-49-7P
                    57168-62-4P
                                  61544-06-7P
                                                 74360-51-3P
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                                  121704-45-8P
                                                  132416-79-6P
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      181070-70-2P
                     181070-71-3P
                                     181070-72-4P
        (use of thiazolium compds. for preventing and reversing the formation
        of advanced glycosylation endproducts)
   181069-80-7P 181069-84-1P 181070-56-4P
ΙT
        (use of thiazolium compds. for preventing and reversing the formation
        of advanced glycosylation endproducts)
RN
     181069-80-7 USPATFULL
     Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)-, bromide (9CI)
CN
       INDEX NAME)
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● Br-

RN 181069-84-1 USPATFULL CN Thiazolium, 3-[2-(4-bromophenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 181070-56-4 USPATFULL
CN Thiazolium, 3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br⁻

L9 ANSWER 2 OF 6 USPATFULL on STN

The invention relates to a method of removing 3-deoxyglucosone and other alpha-dicarbonyl sugars from skin. The invention further relates to methods of inhibiting production and function of 3-deoxyglucosone and other alpha-dicarbonyl sugars in skin. The invention also relates to methods of treating 3-deoxyglucosone and other alpha-dicarbonyl sugars associated diseases and disorders of skin.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2003:311845 USPATFULL

TITLE: INVENTOR(S):

3-deoxyglucosone and skin

Tobia, Annette, Wyndmoor, PA, UNITED STATES Kappler, Francis, Philadelphia, PA, UNITED STATES

PATENT INFORMATION: APPLICATION INFO.:

US 2002-198706 A1 20020718 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2002-392530P 20020627 (60) US 2002-373103P 20020417 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: MORGAN, LEWIS & BOCKIUS LLP, 1701 MARKET STREET,

PHILADELPHIA, PA, 19103-2921

NUMBER OF CLAIMS: 216 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 11 Drawing Page(s)

LINE COUNT: 5483

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DETD . . . invention may be administered, prepared, packaged, and/or sold in formulations suitable for oral, rectal, vaginal, parenteral, topical,

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pulmonary, intranasal, buccal, ophthalmic, or another route of
      administration. Other contemplated formulations include projected
      nanoparticles, liposomal preparations, resealed erythrocytes containing
       the active ingredient, and.
         . . may be administered via numerous routes, including, but not
DETD
      limited to, oral, rectal, vaginal, parenteral, topical, pulmonary,
       intranasal, buccal, or ophthalmic administration routes. The
       route(s) of administration will be readily apparent to the skilled
      artisan and will depend upon any number.
DETD
       [0352] Pharmaceutical compositions that are useful in the methods of the
      invention may be administered systemically in oral solid formulations,
      ophthalmic, suppository, aerosol, topical or other similar
       formulations. In addition to the compound such as heparan sulfate, or a
      biological equivalent.
       . . . the invention may be prepared, packaged, or sold in
DETD
      formulations suitable for oral, rectal, vaginal, parenteral, topical,
      pulmonary, intranasal, buccal, ophthalmic, intrathecal or
      another route of administration. Other contemplated formulations include
      projected nanoparticles, liposomal preparations, resealed erythrocytes
      containing the active ingredient,.
IT
      52-66-4, DL-Penicillamine
                                 52-67-5, D-Penicillamine
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      4,5,6-Triaminopyrimidine
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89790-95-4

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87533-71-9

89790-90-9

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Benzenecarboximidic acid 1-methylhydrazide
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181147-18-2
              181147-20-6
                             181147-21-7
  (inhibition of 3-deoxyglucosone and \alpha-dicarbonyl sugars in skin
  and therapeutic uses for oxidative stress related diseases)
  (inhibition of 3-deoxyglucosone and \alpha-dicarbonyl sugars in skin
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181069-80-7 181069-84-1 IT

and therapeutic uses for oxidative stress related diseases) 181069-80-7 USPATFULL

RN Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)-, bromide (9CI) (CA CN INDEX NAME)

▶ Br-

RN 181069-84-1 USPATFULL Thiazolium, 3-[2-(4-bromophenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) CN (CA INDEX NAME)

● Br⁻

L9 ANSWER 3 OF 6 USPATFULL on STN

The present invention relates to compositions and methods for inhibiting and reversing nonenzymatic cross-linking (protein aging). Accordingly, a composition is disclosed which comprises a thiazolium compound capable of inhibiting, and to some extent reversing, the formation of advanced glycosylation endproducts of target proteins by reacting with the carbonyl moiety of the early glycosylation product of such target proteins formed by their initial glycosylation. The method comprises contacting the target protein with the composition. Both industrial and therapeutic applications for the invention are envisioned, as food spoilage and animal protein aging can be treated. A novel immunoassay for detection of the reversal of the nonenzymatic crosslinking is also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

2003:308308 USPATFULL

TITLE:

Preventing and reversing advanced glycosylation

endproducts

INVENTOR(S):

Cerami, Anthony, Shelter Island, NY, United States Ulrich, Peter C., Old Tappan, NJ, United States Wagle, Dilip R., Valley Cottage, NY, United States Hwang, San-Bao, Sudbury, MA, United States

Nasan, Sara, Yonkers, NY, United States

Egan, John J., New York City, NY, United States Alteon Inc., Ramsey, NJ, United States (U.S.

corporation)

NUMBER KIND DATE US 38330 US 5656261 PATENT INFORMATION: E1 20031125 19970812 (Original) US 1999-373345 US 1995-375155 19990812 (9) APPLICATION INFO.: 19950118 (Original) Reissue DOCUMENT TYPE:

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:
ASSISTANT EXAMINER:

McKane, Joseph K. Sackey, Ebenezer

LEGAL REPRESENTATIVE:

Elrifi, Ph.D., Ivor R., Golden, Matthew J., Mintz,

Levin, Cohn, Ferris, Glovsky & Popeo, P.C.

NUMBER OF CLAIMS:

23

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT:

1970

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

CLM What is claimed is:

- 153. A composition adapted for **ocular** administration comprising one or more compounds selected from compounds of the formula: ##STR26## wherein R.sup.1 and R.sup.2 are independently hydrogen,. . . 154. The **ocular** composition of claim 153 wherein X is a halide, tosylate, methanesulfonate or mesitylenesulfonate ion.
- 155. The ocular composition of claim 153 wherein X is a halide ion.
- 156. The ocular composition of claim 153 wherein Z is hydrogen.
- 157. The ocular composition of claim 153, wherein, when R is aryl, at least one of R.sup.1 and R.sup.2 is other than hydrogen. 158. The ocular composition of claim 157 wherein Z is hydrogen.
- 159. The ocular composition of claim 158 wherein R is aryl.
- 160. The ocular composition of claim 158 wherein said compound is a 3-(2-phenyl-2-oxoethyl)thiazolium.
- 161. The **ocular** composition of claim 158 wherein said compound is a 3-(2-phenyl-2-oxoethyl)-4-methylthiazolium.
- 162. The ocular composition of claim 158 wherein said compound is a 3-(2-phenyl-2-oxoethyl)-4,5-dimethylthiazolium.
- 163. The ocular composition of claim 158 wherein said compound is 3-(2-phenyl-2-oxoethyl)-4,5-dimethylthiazolium bromide.
- 164. The ocular composition of claim 158 wherein said compound is a 3-(2-phenyl-2-oxoethyl)-5-methylthiazolium.
- 165. The ocular composition of claim 158 wherein said compound is a 3-(2-phenyl-2-oxoethyl)-benzothiazolium.
- 166. The ocular composition of claim 153 wherein Y is a 2-amino-2-oxoethyl group.
- 167. The ocular composition of claim 166 wherein said compound is a 3-(2-amino-2-oxoethyl)-4-methylthiazolium.
- 168. The ocular composition of claim 166 wherein said compound is a 3-(2,-amino-2-oxoethyl) benzothiazolium.

169. The ocular composition of claim 166 wherein said compound is a 3-(2-amino-2-oxoethyl)-4-methyl-5-(2-hydroxyethyl)thiazolium.

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7467-00-7P
                                                           7478-09-3P
                                6274-00-6P
IT
      4568-71-2P
                   5304-34-7P
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      181070-31-5P
                     181070-33-7P
                                     181070-35-9P
                                                    181070-36-0P
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                     181070-39-3P
                                                                    181070-50-8P
                                     181070-48-4P
                                                    181070~49-5P
                     181070-46-2P
      181070-44-0P
                                     181070-53-1P
                                                    181070-54-2P
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                                     181070-58-6P
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      181070-56-4P
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      181070-60-0P
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                     181070-66-6P
                                     181070-67-7P
      181070-65-5P
                     181070-71-3P
                                                    181070-74-6P
                                                                    181147-74-0P
                                     181070-72-4P
      181070-70-2P
        (use of thiazolium compds. for preventing and reversing the formation
        of advanced glycosylation endproducts)
    181069-80-7P 181069-84-1P 181070-56-4P
IT
```

(use of thiazolium compds. for preventing and reversing the formation of advanced glycosylation endproducts)

RN 181069-80-7 USPATFULL

CN Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 181069-84-1 USPATFULL

CN Thiazolium, 3-[2-(4-bromophenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br⁻

RN 181070-56-4 USPATFULL

CN Thiazolium, 3-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

L9 ANSWER 4 OF 6 USPATFULL on STN

AB Provided, among other things, is a method of treating or ameliorating or preventing an indication of the invention in an animal, including a human comprising administering an effective amount of a compound of the formula I: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

2002:315102 USPATFULL ACCESSION NUMBER: Method for treating fibrotic diseases or other TITLE: indications ID Egan, John J., New York, NY, UNITED STATES INVENTOR(S): Wagle, Dilip, New York, NY, UNITED STATES Vasan, Sara, New York, NY, UNITED STATES Gall, Martin, Morristown, NJ, UNITED STATES Bell, Stanley, Narberth, PA, UNITED STATES La Woie, Edmond J., Princeton Junction, NJ, UNITED STATES NUMBER KIND DATE _____ PATENT INFORMATION: US 2002177586 A1 20021128 US 2001-37447 APPLICATION INFO.: A1 20011231 (10) Continuation of Ser. No. US 2001-905188, filed on 13 RELATED APPLN. INFO.: Jul 2001, PENDING NUMBER DATE PRIORITY INFORMATION: US 2000-218273P 20000713 (60) US 2001-296435P 20010606 (60) US 2001-259242P 20010102 (60) US 2000-259431P 20001229 (60) DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT: Allen Bloom, Esq., Dechert Price & Rhoads, P. O. Box LEGAL REPRESENTATIVE: 5218, Princeton, NJ, 08543 NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1 2815 LINE COUNT: CAS INDEXING IS AVAILABLE FOR THIS PATENT. . . used to deliver the compound to the site where activity is SUMM desired; such as eye drops, gels and creams for ocular . . . compositions of this invention include aqueous solutions SUMM comprising a safe and effective amount of a subject compound intended for topical ocular administration. Such compositions preferably comprise from about 0.01% to about 0.8% w/v of a subject compound, more preferably from about. . . [0626] The compounds of the invention are administered by ocular SUMM , oral, parenteral, including, for example, using formulations suitable as eye drops. For ocular administration, ointments or droppable liquids may be delivered by ocular delivery systems known to the art such as applicators or eye droppers. Such compositions can include mucomimetics such as hyaluronic. . IT 393121-34-1D, salts (treating fibrotic diseases or other indications) 356759-42-7P IT 356759-43-8P 356759-44-9P 356759-45-0P 356759-48-3P 356759-46-1P 356759-47-2P 356759-50-7P 356759-52-9P 356759-53-0P 392710-36-0P 392710-37-1P 392710-38-2P **393121-65-8P** 393121-77-2P 393121-80-7P (treating fibrotic diseases or other indications) **393121-34-1D**, salts

(treating fibrotic diseases or other indications)

393121-34-1 USPATFULL

RN

CN Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

IT 356759-45-0P 356759-46-1P 356759-47-2P

356759-50-7P 356759-52-9P 356759-53-0P

393121-65-8P

(treating fibrotic diseases or other indications)

RN 356759-45-0 USPATFULL

CN Thiazolium, 3-[2-(4-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-46-1 USPATFULL

CN Thiazolium, 3-[2-(2-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-47-2 USPATFULL CN Thiazolium, 3-[2-(3-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-50-7 USPATFULL CN Thiazolium, 3-[2-(2,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-52-9 USPATFULL CN Thiazolium, 3-[2-(3,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-53-0 USPATFULL CN Thiazolium, 3-[2-(2,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br⁻

RN 393121-65-8 USPATFULL

CN Thiazolium, 3-[2-(3,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, chloride (9CI) (CA INDEX NAME)

• c1-

L9 ANSWER 5 OF 6 USPATFULL on STN

AB Provided, among other things, is a compound of the formula: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2002:192111 USPATFULL

TITLE:

Cyanomethyl substituted thiazoliums and imidazoliums

and treatments of disorders associated with protein

aging

INVENTOR(S):

Wagle, Dilip R., New York, NY, UNITED STATES

DELACROIX

Fang, Sheng Ding, Mount Kisco, NY, UNITED STATES

```
NUMBER
                                          KIND
                                                   DATE
                        US 2002103182 A1 20020801
US 6610716 B2 20030826
US 2001-905035 A1 20010713 (9)
PATENT INFORMATION:
APPLICATION INFO.:
                               NUMBER
                                             DATE
                        US 2000-218273P 20000713 (60)
US 2001-296435P 20010606 (60)
PRIORITY INFORMATION:
                        US 2001-259242P 20010102 (60)
                        US 2000-259431P
                                            20001229 (60)
DOCUMENT TYPE:
                        Utility
                        APPLICATION
FILE SEGMENT:
                        DECHERT, P.O. Box 5218, Princeton, NJ, 08543
LEGAL REPRESENTATIVE:
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
                        1895
LINE COUNT:
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       . . used to deliver the compound to the site where activity is
       desired; such as eye drops, gels and creams for ocular
       disorders.
       . . . compositions of this invention include aqueous solutions
SUMM
       comprising a safe and effective amount of a subject compound intended
       for topical ocular administration. Such compositions
       preferably comprise from about 0.01% to about 0.8% w/v of a subject
       compound, more preferably from about. . .
       [0149] The compounds of the invention are administered by ocular
SUMM
       , oral, parenteral, including, for example, using formulations suitable
       as eye drops. For ocular administration, ointments or
       droppable liquids may be delivered by ocular delivery systems
       known to the art such as applicators or eye droppers. Such compositions
       can include mucomimetics such as hyaluronic.
IT 393121-34-1D, salts
        (treating fibrotic diseases or other indications)
IT
      356759-42-7P 356759-43-8P 356759-44-9P 356759-45-0P
      356759-46-1P 356759-47-2P 356759-48-3P
      356759-50-7P 356759-52-9P 356759-53-0P
                     392710-37-1P
      392710-36-0P
                                     392710-38-2P 393121-65-8P
      393121-77-2P
                     393121-80-7P
        (treating fibrotic diseases or other indications)
IT 393121-34-1D, salts
        (treating fibrotic diseases or other indications)
RN
     393121-34-1 USPATFULL
     Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)
CN
```

IT 356759-45-0P 356759-46-1P 356759-47-2P 356759-50-7P 356759-52-9P 356759-53-0P 393121-65-8P

(treating fibrotic diseases or other indications)

RN 356759-45-0 USPATFULL

CN Thiazolium, 3-[2-(4-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-46-1 USPATFULL CN Thiazolium, 3-[2-(2-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-47-2 USPATFULL CN Thiazolium, 3-[2-(3-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-50-7 USPATFULL CN Thiazolium, 3-[2-(2,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-52-9 USPATFULL
CN Thiazolium, 3-[2-(3,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide
(9CI) (CA INDEX NAME)

• Br-

RN 356759-53-0 USPATFULL CN Thiazolium, 3-[2-(2,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 393121-65-8 USPATFULL

CN Thiazolium, 3-[2-(3,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, chloride (9CI) (CA INDEX NAME)

• c1-

L9 ANSWER 6 OF 6 USPATFULL on STN

AB Provided, among other things, is a method of treating or ameliorating or preventing an indication of the invention in an animal, including a human comprising administering an effective amount of a compound of the formula I: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2002:133871 USPATFULL

TITLE:

Method for treating fibrotic diseases or other

10/038,112 indications IC Egan, Jack, New York, NY, UNITED STATES INVENTOR(S): Wagle, Dilip, Pune, INDIA Vasan, Sara, New York, NY, UNITED STATES Gall, Martin, Morristown, NJ, UNITED STATES PATENT ASSIGNEE(S): Alteon, Inc. (U.S. corporation) KIND NUMBER US 2002068729 A1 20020606 US 2001-905188 A1 20010713 (9) PATENT INFORMATION: APPLICATION INFO.: DATE NUMBER -----US 2000-218273P 20000713 (60) US 2001-296435P 20010606 (60) US 2001-259242P 20010102 (60) PRIORITY INFORMATION: US 2000-259431P 20001229 (60) DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION DECHERT, P.O. Box 5218, Princeton, NJ, 08543 LEGAL REPRESENTATIVE: NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 2681 CAS INDEXING IS AVAILABLE FOR THIS PATENT. . . . used to deliver the compound to the site where activity is desired; such as eye drops, gels and creams for ocular disorders, SUMM . . . compositions of this invention include aqueous solutions comprising a safe and effective amount of a subject compound intended for topical ocular administration. Such compositions preferably comprise from about 0.01% to about 0.8% w/v of a subject compound, more preferably from about. . . SUMM [0622] The compounds of the invention are administered by ocular , oral, parenteral, including, for example, using formulations suitable as eye drops. For ocular administration, ointments or droppable liquids may be delivered by ocular delivery systems known to the art such as applicators or eye droppers. Such compositions can include mucomimetics such as hyaluronic. . . **393121-34-1D**, salts (treating fibrotic diseases or other indications) 356759-42-7P 356759-43-8P 356759-44-9P **356759-45-0P** ΙT **356759-46-1P 356759-47-2P** 356759-48-3P

356759-50-7P 356759-52-9P 356759-53-0P

392710-36-0P 392710-37-1P 392710-38-2P **393121-65-8P**

393121-77-2P 393121-80-7P

(treating fibrotic diseases or other indications)

IT 393121-34-1D, salts

(treating fibrotic diseases or other indications)

RN 393121-34-1 USPATFULL

Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME) CN

IT 356759-45-0P 356759-46-1P 356759-47-2P 356759-50-7P 356759-52-9P 356759-53-0P 393121-65-8P

(treating fibrotic diseases or other indications)

RN 356759-45-0 USPATFULL

CN Thiazolium, 3-[2-(4-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-46-1 USPATFULL CN Thiazolium, 3-[2-(2-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-47-2 USPATFULL CN Thiazolium, 3-[2-(3-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-50-7 USPATFULL
CN Thiazolium, 3-[2-(2,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide
(9CI) (CA INDEX NAME)

● Br-

RN 356759-52-9 USPATFULL CN Thiazolium, 3-[2-(3,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-53-0 USPATFULL CN Thiazolium, 3-[2-(2,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 393121-65-8 USPATFULL
CN Thiazolium, 3-[2-(3,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, chloride
(9CI) (CA INDEX NAME)

• cl-

FILE 'HCAPLUS' ENTERED AT 21:24:29 ON 07 JUN 2004
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'USPATFULL' ENTERED AT 21:24:29 ON 07 JUN 2004 CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'MEDLINE' ENTERED AT 21:24:29 ON 07 JUN 2004

FILE 'BIOSIS' ENTERED AT 21:24:29 ON 07 JUN 2004 COPYRIGHT (C) 2004 BIOLOGICAL ABSTRACTS INC. (R)

FILE 'EMBASE' ENTERED AT 21:24:29 ON 07 JUN 2004 COPYRIGHT (C) 2004 Elsevier Inc. All rights reserved.

=> s 13

L4 73 L3

=> s 14 and glaucom?

L5 5 L4 AND GLAUCOM?

=> dup rem 15

PROCESSING COMPLETED FOR L5

L6 5 DUP REM L5 (0 DUPLICATES REMOVED)

=> d 16 abs ibib kwic hitstr 1-5

L6 ANSWER 1 OF 5 USPATFULL on STN

AB Provided is a method of treating or ameliorating an indication of the invention in an animal, including a human, by administering an effective amount of a compound of the formula I: ##STR1##

wherein R.sup.1, R.sup.2, M, X and Z are as described supra. Also provided are certain imidazolium compounds and pharmaceutical compositions containing the imidazolium compounds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2003:251637 USPATFULL

TITLE:

Method for treating fibrotic diseases or other

indications with imidazolium agents

INVENTOR(S):

Wagle, Dilip, Pune, INDIA

Vasan, Sara, New York, NJ, UNITED STATES Gall, Martin, Morristown, NJ, UNITED STATES

PATENT ASSIGNEE(S):

Alteon, Inc. (non-U.S. corporation)

	NUMBER		KIND	DATE
PATENT INFORMATION:	US	2003176426	A1	20030918
APPLICATION INFO.:	US	2003-354952	A1	20030130

APPLICATION INFO.: RELATED APPLN. INFO.:

US 2003-354952 A1 20030130 (10)
Continuation-in-part of Ser. No. US 2001-905188, filed on 13 Jul 2001, PENDING Continuation-in-part of Ser. No. US 2001-38112, filed on 31 Dec 2001, PENDING

NUMBER	DATE	

```
US 2001-296435P
                                           20010606 (60)
PRIORITY INFORMATION:
                                           20000713 (60)
                        US 2000-218273P
                                            20010102 (60)
                        US 2001-259242P
                                            20001229 (60)
                        US 2000-259431P
                        US 2001-307418P
                                           20010724 (60)
                        US 2001-296257P
                                           20010606 (60)
                                           20001229 (60)
                        US 2000-259426P
                        Utility
DOCUMENT TYPE:
                        APPLICATION
FILE SEGMENT:
                        ALLEN BLOOM, C/O DECHERT, PRINCETON PIKE CORPORATION
LEGAL REPRESENTATIVE:
                        CENTER, P.O. BOX 5218, PRINCETON, NJ, 08543-5218
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
                        1
LINE COUNT:
                        2157
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       [0102] Treatment of Glaucoma and Improving Ocular Accomodation
       [0104] Ophthalmologic disorders in diabetes include opacification and
SUMM
       glaucoma. As the occurrence of these indications is correlated
       with the persistent hyperglycemia of the disease. Although the incidence
       of glaucoma is significant in diabetic populations,
       glaucoma affects a substantial portion of the general aging
       population as well.
       [0105] Primary open angle glaucoma occurs in approximately 4%
SUMM
       of diabetics compared to 1.8% of the general population. The reasons for
       the increase in intraocular pressure that is observed in this disorder
       are not completely understood. The increase in intraocular pressure that
       characterizes glaucoma is likely caused by an impairment in
       the drainage of fluid from the eye at the trabecular meshwork since
       trabeculectomy.
       . . . present invention, methods for administering pharmaceutical
SUMM
       compositions containing certain compounds have been developed for
       reducing the intraocular pressure associated with glaucoma.
       These agents are substituted imidazolium agents as shown in the Summary
       section above.
       . . . a method is provided for the treatment of an animal, preferably
SUMM
       a mammal, preferably a human with ophthalmologic disorders including
       glaucoma and reduced accommodation. Briefly the method of the
       present invention provides for a method of treatment of mammals with
       glaucoma or reduced accommodation that can be caused by age or
       certain age-related diseased states such as diabetes. The method
       [0112] To treat glaucoma or reduced accommodation, and their
SUMM
       associated symptoms by administration of an effective amount of a
       pharmaceutical compound will be recognized. . .
       [0114] In treating glaucoma, agents of the inventions can be
SUMM
       administered concurrently or in a combined formulation with one or more
       α2-selective adrenergic agonists,. . . . . . as those described here. See, copending U.S. patent application
       \alpha 2-selective adrenergic agonists,. .
SUMM
       Ser. No. 10/038,112, filed Dec. 31, 2001 for "Methods for Treating
       Glaucoma I," ("the '112 application," which is hereby
       incorporated by reference).
      392710-36-0P 393121-34-1DP, salts
                                           393121-77-2P.
IT
      3-[2-(1-Pyrrolidinyl)-2-oxoethyl]-1,2-dimethylimidazolium chloride
      393121-80-7P, 1-Butyl-3-aminoimidazolium mesitylenesulfonate
                                                            602279-72-1DP, salts
                     602279-70-9P
                                     602279-71-0DP, salts
      602279-69-6P
                             602279-74-3DP, salts
      602279-73-2DP, salts
         (AGE inhibitor; preparation of imidazolium AGE receptor inhibitors for
```

treating fibrotic diseases or other indications)

IT 393121-34-1DP, salts

(AGE inhibitor; preparation of imidazolium AGE receptor inhibitors for treating fibrotic diseases or other indications)

RN 393121-34-1 USPATFULL

CN Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN GI

Provided is a method of decreasing intraocular pressure or improving AB ocular accommodation comprising administering I [R1-2 = H, acylamino, acyloxyalkyl, alkanoyl, alkanoylalkyl, alkenyl, alkoxy, alkoxycarbonyl, etc.; Z = H, alkyl, Ar-CH2, NR3R4, etc.; R3-4 = H, alkyl, Ar, Ar-alkyl; Ar = (hetero)aryl; Y = amino, CHR5R6; R5 = H, alkyl, cycloalkyl, alkenyl, alkynyl, aminoalkyl, etc.; R6 = H, alk(en/yn)yl, cyano, aryl/heterocycle, etc.; Q = N, O, S; M is absent when Q = O, S; M = alkyl, vinyl, allyl, Y; X = pharmaceutically acceptable anion]. Examples include, 11 compds., effect of example compds. on outflow facility primates, drug penetration studies on intact cornea (rabbit, monkey), effect of compds. on i.m. pilocarpine-stimulated accommodative response (monkey) and the ability of test compds. to inhibit crosslinking (and reverse already formed cross linking) of glycated serum albumin to rat tail tendon collagen (which prevent outflow). For instance, 2-Chloro-1-phenylethanol (preparation given) was used to alkylate 4,5-dimethylthiazole (neat, 135°, 28 h) to afford II (9.7%) as prisms, mp $\overline{201-203}^{\circ}$. I are useful in the treatment/prevention of glaucoma.

II

ACCESSION NUMBER:

2002:521491 HCAPLUS

DOCUMENT NUMBER:

137:78956

TITLE:

Synthesis of thiazolium and imidazolium salts and use

in treating glaucoma

INVENTOR(S):

Egan, John J.; Wagle, Dilip; Vasan, Sara; Gall, Martin; Bell, Stanley C.; Lavoie, Edmond J.

SOURCE:

PATENT ASSIGNEE(S):

```
DOCUMENT TYPE:
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                          APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
                                          _____
                                         WQ 2001-US49550 20011228
                     A1 20020711
     WO 2002053158
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, GA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                          20031022
                      A1
                                          EP 2001-988353 20011228
     EP 1353669
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                        US 2000-259426P P
PRIORITY APPLN. INFO.:
                                                            20001229
                                        US 2001-296257P P 20010606
                                        US 2001-307418P P 20010724
                                        WO 2001-US49550 W 20011228
                        MARPAT 137:78956
OTHER SOURCE(S):
     Synthesis of thiazolium and imidazolium salts and use in treating
     glaucoma
     . . . 4,5-dimethylthiazole (neat, 135°, 28 h) to afford II
AB
     (9.7%) as prisms, mp 201-203°. I are useful in the
     treatment/prevention of glaucoma.
     intraocular pressure glaucoma thiazole imidazole thiazolium
     imidazolium prepn accommodation
IT
     Antiglaucoma agents
       Glaucoma (disease)
     Human
        (synthesis of thiazolium and imidazolium salts as antiglaucoma agents)
                    356759-43-8P
                                   356759-44-9P 356759-45-0P
IT
     356759-42-7P
     356759-46-1P 356759-47-2P
                                 356759-48-3P
     356759-50-7P 356759-52-9P 356759-53-0P
                    392710-37-1P
                                   392710-38-2P 393121-65-8P
     392710-36-0P
     393121-77-2P
                    393121-80-7P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (antiglaucoma agent; synthesis of thiazolium and imidazolium salts as
        antiglaucoma agents)
     356759-45-0P 356759-46-1P 356759-47-2P
IT
     356759-50-7P 356759-52-9P 356759-53-0P
     393121-65-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (antiglaucoma agent; synthesis of thiazolium and imidazolium salts as
        antiglaucoma agents)
```

Alteon, Inc., USA PCT Int. Appl., 83 pp.

CODEN: PIXXD2

Patent

RN 356759-45-0 HCAPLUS

CN Thiazolium, 3-[2-(4-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 356759-46-1 HCAPLUS

CN Thiazolium, 3-[2-(2-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 356759-47-2 HCAPLUS

CN Thiazolium, 3-[2-(3-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 356759-50-7 HCAPLUS
CN Thiazolium, 3-[2-(2,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide
(9CI) (CA INDEX NAME)

• Br-

RN 356759-52-9 HCAPLUS
CN Thiazolium, 3-[2-(3,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 356759-53-0 HCAPLUS CN Thiazolium, 3-[2-(2,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 393121-65-8 HCAPLUS
CN Thiazolium, 3-[2-(3,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, chloride
(9CI) (CA INDEX NAME)

● cl-

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 5 USPATFULL on STN

AB

In one embodiment, the present invention relates to compounds and compositions including pharmaceutical compositions containing the compounds and associated methods that uncouple sugar-mediated coupling of proteins, lipids, nucleic acids, and other biomaterials, and any combination thereof. In another embodiment, the compositions and associated methods have utility in vivo to reduce the deleterious effects of sugar-mediated coupling processes in an organism, when the organism is exposed to the compound or composition internally, by ingestion, transdermal application, or other means. In yet another embodiment, the compositions and associated methods are useful for the ex-vivo treatment of organs, cells and tissues and external treatment of hair, nails and skin to rejuvenate them by changing deformability and increase the tissue diffusion coefficient. In a further embodiment, the present invention relates to novel compounds and pharmaceutical compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2002:330330 USPATFULL

TITLE:

Method and composition for rejuvinating cells, tissues

organs, hair and nails

INVENTOR(S):

Ulrich, Peter C., Portland, OR, UNITED STATES Fang, Sheng Ding, Mount Kisco, NY, UNITED STATES Brines, Michael L., Woodbridge, CT, UNITED STATES

Xie, Qiao-Wen, Yonkers, NY, UNITED STATES

Cerami, Anthony, Sleepy Hollow, NY, UNITED STATES

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NUMBER
                                             DATE
                                           20010207 (60)
PRIORITY INFORMATION:
                        US 2001-267226P
                        Utility
DOCUMENT TYPE:
                        APPLICATION
FILE SEGMENT:
LEGAL REPRESENTATIVE:
                        DREIER & BARITZ LLP, 499 PARK AVENUE, 20TH FLOOR, NEW
                        YORK, NY, 10022
NUMBER OF CLAIMS:
                        61
EXEMPLARY CLAIM:
                        1
                        3338
LINE COUNT:
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
                                      Gonadal failure
     . . . degenerative
                     Diabetes-related
                                                        Hormone resistance
                                                        Increased diffusion
      barrier
                     Age-related, degenerative
                                                        Infertility
Reproductive
                     Vascular (atherosclerosis-related) Infertility
                     Diabetes-related
                                                        Infertility
                                                        Presbyopia
Ophthalmic
                     Age-related, degenerative
                                                          Glaucoma
                     Vascular (atherosclerosis-related) Macular degeneration
       (age-related)
                     Diabetes-related
                                                        Diabetic retinopathy
                     Age-related, degenerative
                                                        Presbyacusis
Hearing
                     Vascular (atherosclerosis-related) Hearing loss
                     Diabetes-related
                                                        Senso-neuronal hearing
       loss
                     Age-related, . . .
Renal
       Treatment of Age-related Eye Diseases: Glaucoma and Presbyopia
DETD
       [0421] A. Glaucoma
DETD
DETD
       [0422] Glaucoma is a leading cause of blindness. There are
       four major types of glaucoma:
       [0423] open angle or chronic glaucoma
DETD
DETD
       [0424] closed angle or acute glaucoma
       [0425] congenital glaucoma
DETD
       [0426] secondary glaucoma
DETD
       [0427] Open angle or chronic glaucoma is by far the most
DETD
       common type. In chronic glaucoma the outflow (Schlemm canal)
       of the aqueous humor is blocked. Although the precise mechanisms leading
       to outflow blockage have not been established, the occurrence of chronic
       glaucoma is associated with age above 45 years and diabetes. It
       is believed that decreased deformability and diffusional characteristics
       [0428] In an embodiment of the present invention, a patient with
DETD
       diagnosed open angle glaucoma is treated with a medicament
       containing a composition of the present invention in an amount
       sufficient to exert clinical effectiveness..
                   6274-04-0P
                                              55643-70-4P
                                                            61821-90-7P
IT
      1094-77-5P
                                31410-05-6P
                                    446839-36-7P
                                                   446839-38-9P
                                                                  446839-40-3P
      446839-32-3P
                     446839-34-5P
                                                   446839-45-8P
                                                                  446839-46-9P
      446839-41-4P
                     446839-42-5P
                                    446839-43-6P
                                                   446839-50-5P
                                                                  446839-51-6P
      446839-47-0P
                     446839-48-1P
                                    446839-49-2P
                     446839-53-8P
                                    446839-54-9P
                                                   446839-55-0P
      446839-52-7P
                                                   446839-59-4P
                     446839-57-2P
                                    446839-58-3P
      446839-56-1P
                                                                  446839-64-1P
                     446839-61-8P
                                    446839-62-9P
                                                   446839-63-0P
      446839-60-7P
                                                                  446839-74-3P
                     446839-66-3P
                                    446839-72-1P
                                                   446839-73-2P
      446839-65-2P
                                    446839-77-6P
                     446839-76-5P
      446839-75-4P
        (preparation of azoles, azines and salts thereof for rejuvenating cells,
```

tissues, organs, hair and nails)

IT 81466-85-5 **341028-37-3** 446839-78-7

(preparation of azoles, azines and salts thereof for rejuvenating cells, tissues, organs, hair and nails)

IT 446839-56-1P

(preparation of azoles, azines and salts thereof for rejuvenating cells, tissues, organs, hair and nails)

RN 446839-56-1 USPATFULL

CN Thiazolium, 2-(1-hydroxyethyl)-4,5-dimethyl-3-(2-oxo-2-phenylethyl)-, bromide (9CI) (CA INDEX NAME)

Br -

IT 341028-37-3

(preparation of azoles, azines and salts thereof for rejuvenating cells, tissues, organs, hair and nails)

RN 341028-37-3 USPATFULL

CN Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)-, chloride (9CI) (CA INDEX NAME)

• c1-

L6 ANSWER 4 OF 5 USPATFULL on STN

AB Provided is a method of treating or ameliorating or preventing glaucoma, decreasing intraocular pressure or improving or amemliorting ocular accommodation in an animal, including a human comprising administering an intraocular pressure decreasing or accommodation improving amount of a compound of the formula I:

##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2002:288123 USPATFULL

TITLE:

Method for treating glaucoma IC

INVENTOR(S):

Egan, John J., New York, NY, UNITED STATES Wagle, Dilip, New York, NY, UNITED STATES Vasan, Sara, New York, NY, UNITED STATES Gall, Martin, Morristown, NJ, UNITED STATES Bell, Stanley, Narberth, PA, UNITED STATES

LaVoie, Edmond Joseph, Princeton Junction, NJ, UNITED

STATES

US 2001-307418P 20010724 (60) US 2001-296257P 20010606 (60) US 2000-259426P 20001229 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ALLEN BLOOM, C/O DECHERT, PRINCETON PIKE CORPORATION

CENTER, P.O. BOX 5218, PRINCETON, NJ, 08543-5218

NUMBER OF CLAIMS: 1: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 2653

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TI Method for treating glaucoma IC

AB Provided is a method of treating or ameliorating or preventing glaucoma, decreasing intraocular pressure or improving or amemliorting ocular accommodation in an animal, including a human comprising administering an intraocular pressure. . .

SUMM [0002] The present invention relates to methods for treating glaucoma or improving accommodation (i.e. the process by which the eye adjusts for vision at different distances), and to compounds and. . . in such treating. In one aspect, the present invention relates to a method of decreasing the intraocular pressure caused by

SUMM [0004] Ophthalmologic disorders in diabetes include opacification and glaucoma. As the occurrence of these indications is correlated with the persistent hyperglycemia of the disease. Although the incidence of glaucoma is significant in diabetic populations, glaucoma affects a substantial portion of the general aging population as well.

SUMM [0005] Primary open angle **glaucoma** occurs in approximately 4% of diabetics compared to 1.8% of the general population. The reasons for the increase in intraocular pressure that is observed in this disorder are not completely understood. The increase in intraocular pressure that characterizes **glaucoma** is likely caused by an impairment in the drainage of fluid from the eye at the trabecular meshwork since trabeculectomy. . .

SUMM [0008] New strategies for pharmaceutical intervention in the treatment

of glaucoma based upon new mechanisms of action need to be identified. In addition, pharmaceutical agents that decrease the intraocular pressure associated with glaucoma are needed. Also, the methods of improving accommodation provided by the invention allow one to avoid costly and burdensome optical. [0009] In one embodiment, the invention relates to a method of treating SUMM or ameliorating or preventing glaucoma, decreasing intraocular pressure or improving or ameliorating ocular accommodation in an animal, including a human comprising administering an intraocular pressure. . . . a method is provided for the treatment of an animal, preferably DETD a mammal, preferably a human with ophthalmologic disorders including glaucoma and reduced accommodation. Briefly the method of the present invention provides for a method of treatment of mammals with glaucoma or reduced accommodation that can be caused by age or certain age-related diseased states such as diabetes. The method provides. . . [0084] Primary open angle glaucoma is characterized by an DETD increase in intraocular pressure. The condition of open angle glaucoma is characterized by an increase in the pressure within a person's eye or eyes, called the intraocular pressure. The normal. . . . present invention, methods for administering pharmaceutical DETD compositions containing certain compounds have been developed for reducing the intraocular pressure associated with glaucoma. These agents are either substituted thiazolium, oxazolium, or imidazolium agents as shown in the Summary section above. [0569] To treat glaucoma or reduced accommodation, and their DETD associated symptoms by administration of an effective amount of a pharmaceutical compound will be recognized. . . [0570] In treating glaucoma, agents of the inventions can be DETD administered concurrently or in a combined formulation with one or more α.sub.2-selective adrenergic agonists,. **393121-34-1D**, salts (treating fibrotic diseases or other indications) IT356759-42-7P 356759-43-8P 356759-44-9P **356759-45-0P** 356759-46-1P 356759-47-2P 356759-48-3P 356759-50-7P 356759-52-9P 356759-53-0P 392710-37-1P 392710-38-2P **393121-65-8P** 392710-36-0P 393121-80-7P 393121-77-2P (treating fibrotic diseases or other indications) IT 393121-34-1D, salts (treating fibrotic diseases or other indications) RN 393121-34-1 USPATFULL Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

● Br-

RN 356759-46-1 USPATFULL CN Thiazolium, 3-[2-(2-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-47-2 USPATFULL

CN Thiazolium, 3-[2-(3-hydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

● Br-

RN 356759-50-7 USPATFULL

CN Thiazolium, 3-[2-(2,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-52-9 USPATFULL

CN Thiazolium, 3-[2-(3,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide (9CI) (CA INDEX NAME)

• Br-

RN 356759-53-0 USPATFULL
CN Thiazolium, 3-[2-(2,5-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, bromide
(9CI) (CA INDEX NAME)

● Br-

RN 393121-65-8 USPATFULL CN Thiazolium, 3-[2-(3,4-dihydroxyphenyl)-2-oxoethyl]-4,5-dimethyl-, chloride (9CI) (CA INDEX NAME)

● Cl-

L6 ANSWER 5 OF 5 USPATFULL on STN

AB Provided, among other things, is a method of treating, ameliorating or preventing certain fibrotic diseases or other indications in an animal, including a human, comprising administering an effective amount of a compound of the formula I:

Het-Y (I)

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER:

2002:199136 USPATFULL

TITLE:

Method for treating fibrotic diseases or other

indications IVC

INVENTOR(S):

Wagle, Dilip, New York, NY, UNITED STATES
Gall, Martin, Morristown, NJ, UNITED STATES
Bell, Stanley C., Narberth, PA, UNITED STATES
LaVoie, Edmond J., Princeton Junction, NJ, UNITED

STATES

•	NUMBER	KIND DATE
PATENT INFORMATION:	US 2002107245	A1 20020808
APPLICATION INFO.:	US 2001-38117	A1 20011231 (10)
	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-296256P US 2001-259254P US 2000-259424P	20010606 (60) 20010102 (60) 20001229 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:		DECHERT, PRINCETON PIKE CORPORATION 5218, PRINCETON, NJ, 08543-5218
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	

LINE COUNT:

1834

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM

. . . phases of the disease, continued abnormal vessel growth and scar tissue may cause serious problems such as retinal detachment and **glaucoma**. First agents are used to treat, prevent, reduce or ameliorate diabetic retinopathy. The agents can be administered by the methods. . .

IT 393121-34-1

(heterocyclic compds. for treatment of fibrotic diseases or other conditions) $\ \ \,$

IT 393121-34-1

(heterocyclic compds. for treatment of fibrotic diseases or other conditions)

RN 393121-34-1 USPATFULL

CN Thiazolium, 4,5-dimethyl-3-(2-oxo-2-phenylethyl)- (9CI) (CA INDEX NAME)

=>